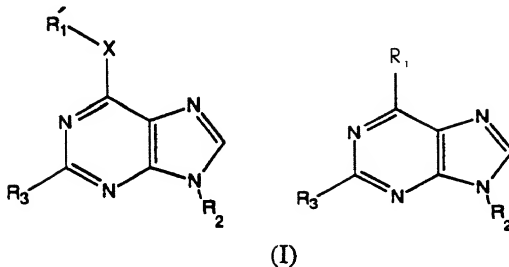


What we claim is:

1. A 2,6,9-trisubstituted purine composition of matter having the following formula:



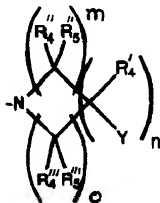
wherein R_1 is halogen or R'_1-X wherein $X = NH, O, S, S(O_2)$.

R'_1 is alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, CF_3 , heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $SO_2NR^{20}COR^{21}$, $SO_2NR^{20}CONR^{20}R^{23}$, $SO_2NR^{20}CO_2R^{21}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}CONR^{20}R^{23}$, $N(R^{20})C(NR^{20})NHR^{23}$, $NR^{20}SO_2R^{21}$, OR^{20} , $OCONR^{20}R^{23}$, $OCONR^{20}SO_2R^{21}$, $OCONR^{20}R^{23}$, CN , CO_2R^{20} , $CONR^{20}R^{23}$, $CONR^{20}SO_2R^{21}$ and COR^{20} ;

R_2 is a hydrogen or hydrocarbon selected from the group alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms,

3

having the formula:



10

15

- $N(R^{20})C(NR^{20})NHR^{23}$, $NR^{20}SO_2R^{21}$, OR^{20} , $OCONR^{20}R^{13}$, $OCONR^{20}SO_2R^{21}$, $OCONR^{20}R^{23}$, CN , CO_2R^{20} , $CONR^{20}R^{23}$, $CONR^{20}SO_2R^{21}$ and COR^{20} ; with the proviso that when Y is carbonyl, Y and R'_4 together may be a single oxygen atom, R'_4 and R'_5 together may be a single oxygen atom, R'_4 and R'_5 may together be a single oxygen atom, and wherein when R_3 is 2-hydroxyethylamino and R_2 is methyl, $R_1'-X$ is not amino, 3-methyl-2-butenylamino, benzylamino, or m-hydroxybenzylamino, when R_3 is not 2-hydroxyethylamino, when R_3 is isopropyl, $R_1'-X$ is not benzylamino, m-hydroxybenzylamino, or 3-methylbutylamino, when R_3 is 2-hydroxyethylamino and R_2 is 2-hydroxyethyl, $R_1'-X$ is not benzylamino and when R_3 is selected from the group consisting of 2-methyl-2-hydroxypropylamino, and 2-dimethylaminoethylamino, and when R_2 is methyl, then $R_1'-X$ is not benzylamino;

- R^{20} is a member selected from the group consisting of H, C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, O- C_{1-6} alkyl, CF_3 , aryl, and heteroaryl;

- R^{21} is a member selected from the group consisting of C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, aryl, heterocyclyl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from the group of halo, heterocyclyl, aryl, heteroaryl, CF_3 , CN, OR^{20} , SR^{20} , $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22} , $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20} , CO_2R^{20} , $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, OR^{20} , $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and $OCON(R^{20})_2$, and each optional heteroaryl, aryl, and heterocyclyl

substituent is optionally substituted with halo, alkyl, CF_3 , amino, mono- or di-alkylamino, alkyl or aryl or heteroaryl amide, NCOR^{22} , $\text{NR}^{20}\text{SO}_2\text{R}^{22}$, COR^{20} , CO_2R^{20} , $\text{CON}(\text{R}^{20})_2$, $\text{NR}^{20}\text{CON}(\text{R}^{20})_2$, $\text{OC}(\text{O})\text{R}^{20}$, $\text{OC}(\text{O})\text{N}(\text{R}^{20})_2$, SR^{20} , $\text{S}(\text{O})\text{R}^{22}$, SO_2R^{22} , $\text{SO}_2\text{N}(\text{R}^{20})_2$, CN, or OR^{20} ,

R^{22} is a member selected from the group consisting of C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, alkynyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, O-C_{1-6} alkyl, CF_3 , aryl, and heteroaryl; and

R^{23} is R^{21} or H.

2. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

R'_1 is a alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, CF_3 , aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $\text{S}(\text{O})\text{R}^{21}$, SO_2R^{21} , $\text{SO}_2\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{COR}^{21}$, $\text{NR}^{20}\text{CO}_2\text{R}^{21}$, $\text{NR}^{20}\text{CONR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{SO}_2\text{R}^{21}$, OR^{20} , CN, CO_2R^{20} , $\text{CONR}^{20}\text{R}^{23}$, and COR^{20} ,

R_2 is a hydrogen or hydrocarbon selected from the group substituted alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $\text{S}(\text{O})\text{R}^{21}$, SO_2R^{21} , $\text{SO}_2\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{COR}^{21}$, $\text{NR}^{20}\text{CO}_2\text{R}^{21}$, $\text{NR}^{20}\text{CONR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{SO}_2\text{R}^{21}$, OR^{20} , CN, CO_2R^{20} , $\text{CONR}^{20}\text{R}^{23}$, and COR^{20} ,

09929772, 001401

R_4 and R_5 are each independently hydrogen, OR_{20} , $NR_{20}R_{23}$, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with

5 from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}CONR^{20}R^{23}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} ,

R^{20} is a member selected from the group consisting of H, C_{1-8} alkyl, C_{2-8} alkenyl, C_{2-8} heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, heterocyclyl, aryl, and heteroaryl

10 are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, $O-C_{1-6}$ alkyl, CF_3 , aryl, and heteroaryl;

R^{21} is a member selected from the group consisting of C_{1-8} alkyl, C_{2-8} alkenyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, aryl, heterocyclyl, and heteroaryl

15 optionally substituted with 1 to 3 substituents independently selected from the group of halo, heterocyclyl, aryl, heteroaryl, CF_3 , CN, OR^{20} , SR^{20} , $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22} , $SO_2N(R^{20})_2$, $SO_2NR^{20}COR^{22}$, $SO_2NR^{20}CO_2R^{22}$, $SO_2NR^{20}CON(R^{20})_2$, $N(R^{20})_2$, $NR^{20}COR^{22}$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, $NR^{20}C(NR^{20})NHR^{23}$, COR^{20} , CO_2R^{20} , $CON(R^{20})_2$, $CONR^{20}SO_2R^{22}$, $NR^{20}SO_2R^{22}$, $SO_2NR^{20}CO_2R^{22}$, OR^{20} , $OCONR^{20}SO_2R^{22}$, $OC(O)R^{20}$, $C(O)OCH_2OC(O)R^{20}$, and

20 $OCON(R^{20})_2$, and each optional heteroaryl, aryl, and heterocyclyl substituent is optionally substituted with halo, alkyl, CF_3 , amino, mono- or di-alkylamino, alkyl or aryl or heteroaryl amide, $NCOR^{22}$, $NR^{20}SO_2R^{22}$, COR^{20} , CO_2R^{20} , $CON(R^{20})_2$, $NR^{20}CON(R^{20})_2$, $OC(O)R^{20}$, $OC(O)N(R^{20})_2$, SR^{20} , $S(O)R^{22}$, SO_2R^{22} , $SO_2N(R^{20})_2$, CN, or OR^{20} ; and

0929772.061401

R^{22} is a member selected from the group consisting of C_{1-8} alkyl, C_{2-8} alkenyl, heterocyclyl, aryl, and heteroaryl, which alkyl, alkenyl, heterocyclyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, $O-C_{1-6}$ alkyl, CF_3 , aryl, and heteroaryl.

3. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

R^1 is alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, CF_3 , aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} ;

- R_2 is a hydrogen or hydrocarbon selected from the group including alkyl, heterocyclyl, and aryl, each having one to 10 carbon atoms, which alkyl, heterocyclyl, aryl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} ;

- R_4 and R_5 are each independently hydrogen, OR_{20} , $NR_{20}R_{23}$, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} ;

09929772, 061401

R^{20} is a member selected from the group consisting of H, C_{1-8} alkyl, aryl, and heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, $O-C_{1-6}$ alkyl, CF_3 ;

- 5 R^{21} is a member selected from the group consisting of C_{1-8} alkyl, aryl, and heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 2 substituents independently selected from the group of halo, CF_3 , CN, OR^{20} , SR^{20} , $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22} , $SO_2N(R^{20})_2$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, COR^{20} , CO_2R^{20} , $CON(R^{20})_2$, $NR^{20}SO_2R^{22}$, OR^{20} , and

- R^{22} is a member selected from the group consisting of C_{1-8} alkyl, aryl, and heteroaryl,
10 which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN, $O-C_{1-6}$ alkyl, CF_3 , aryl, and heteroaryl.

4. A 2,6,9-trisubstituted purine composition of claim 1 wherein:

- R^1 is a alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl,
15 each having one to 20 carbon atoms, which alkyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, alkenyl, and alkynyl, are optionally with from 1 to 2 substituents independently selected from the group consisting of halo, CF_3 , aryl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

- 20 R_2 is a hydrogen or hydrocarbon selected from the group alkyl, heterocyclyl, and aryl, each having one to 10 carbon atoms, which alkyl, heterocyclyl, aryl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of

halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN , CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} ;

R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having
 5 one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN , CO_2R^{20} , and $CONR^{20}R^{23}$;

R^{20} is a member selected from the group consisting of H, C_{1-8} alkyl, aryl, and
 10 heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN , $O-C_{1-6}$ alkyl, CF_3 ;

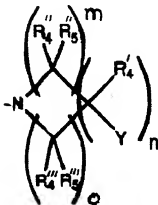
R^{21} is a member selected from the group consisting of C_{1-8} alkyl, aryl, and
 15 heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 2 substituents independently selected from the group of halo, CF_3 , CN , OR^{20} , SR^{20} , $N(R^{20})_2$, $S(O)R^{22}$, SO_2R^{22} , $SO_2N(R^{20})_2$, $NR^{20}CO_2R^{22}$, $NR^{20}CON(R^{20})_2$, COR^{20} , CO_2R^{20} , $CON(R^{20})_2$, $NR^{20}SO_2R^{22}$, OR^{20} ; and

R^{22} is a member selected from the group consisting of C_{1-8} alkyl, aryl, and
 20 heteroaryl, which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl or heteroaryl amide, CN , $O-C_{1-6}$ alkyl, CF_3 , aryl, and heteroaryl.

5. The 2,6,9-trisubstituted purine composition of claim 1 wherein $X=NH$.

6. The 2,6,9-trisubstituted purine composition of claim 1 wherein R_3 is a component having the formula:

0920772 061101



where $m=1-3$, $n=1-3$, $o=1-3$, $y=\text{carbonyl}$, $-\text{NR}_4\text{R}_5$, hydroxyl, thiol, alkoxy, alkylthiol with the provisos that when Y is carbonyl, Y and R_4' together may be a single oxygen atom, R_4'' and R_5'' may together be a single oxygen atom, R_4''' and R_5''' may together be a single oxygen atom; and

R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, R^{22} , SR^{20} , S(O)R^{21} , SO_2R^{21} , $\text{SO}_2\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{R}^{23}$, $\text{NR}^{20}\text{COR}^{21}$, $\text{NR}^{20}\text{CO}_2\text{R}^{21}$, $\text{NR}^{20}\text{SO}_2\text{R}^{21}$, OR^{20} , CN, CO_2R^{20} , and $\text{CONR}^{20}\text{R}^{23}$.

7. The 2,6,9-trisubstituted purine composition of claim 3 wherein R_1' is selected from the group consisting of aralkyl and heteroarylalkyl.

8. The 2,6,9-trisubstituted purine composition of claim 7 wherein R_1' is selected from the group consisting of aralkyl, unsubstituted pyridylalkyl and substituted pyridylalkyl and wherein R_2 is selected from the group consisting of lower alkyl, substituted lower alkyl, and alkyl cycloalkyl.

9. A 2,6,9-trisubstituted purine composition of claim 5 wherein:

R'_1 is an aryl, heteroaryl, heterocyclyl, aralkyl, heteroarylalkyl, each having one to 20 carbon atoms, which aryl, heteroaryl, heterocyclyl, aralkyl, heteroarylalkyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of
 5 halo, CF_3 , aryl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$,
 $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

R_2 is a hydrogen or hydrocarbon selected from the group substituted lower alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl each having one to 10 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents
 10 independently selected from the group consisting of halo, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $NR^{20}R^{23}$,
 OR^{20} , and CN;

R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, alkenyl, and
 15 alkynyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, R^{22} , SR^{20} , $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$,
 OR^{20} , CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

R^{20} is a member selected from the group consisting of H, C_{1-6} alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from halo, alkyl, mono-
 20 or dialkylamino, alkyl or CN, $O-C_{1-6}$ alkyl, CF_3 ;

R^{21} is a member selected from the group consisting of C_{1-6} alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo, CF_3 , CN, OR^{20} , SR^{20} , $N(R^{20})_2$; and

09920772 "061404

R^{22} is a member selected from the group consisting of C_{1-6} alkyl, aryl, heteroaryl which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN, $O-C_{1-6}$ alkyl, CF_3 .

10. The 2,6,9-trisubstituted purine composition of claim 3 wherein R_1 is selected from the group consisting of aryl, heterocyclyl, heteroaryl, substituted heteroaryl, and substituted aryl.

11. The 2,6,9-trisubstituted purine composition of claim 3 wherein R_1 is selected from the group consisting of aryl, unsubstituted pyridyl, substituted pyridyl, and substituted aryl, and R_2 is selected from the group consisting of alkyl, substituted alkyl.

12. The 2,6,9-trisubstituted purine composition of claim 2 wherein R_3 is $-NR_4R_5$ wherein R_4 and R_5 are each selected from the group consisting of hydrogen, alkyl, heterocyclyl, acyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkyl alkenyl, alkyl alkynyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroarylalkyl, are optionally substituted with from 1 to 3 substituents independently selected from the group consisting of halo, aryl, heteroaryl, heterocyclyl, R^{22} , SR^{20} , $S(O)R^{21}$, SO_2R^{21} , $SO_2NR^{20}R^{23}$, $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}CONR^{20}R^{23}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , $CONR^{20}R^{23}$, and COR^{20} .

13. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

R'_1 is an aryl, substituted aryl, each having 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo, CF_3 , aryl, R^{22} , $NR^{20}R^{23}$, $NR^{20}COR^{21}$, OR^{20} , CN;

R_2 is a hydrogen or hydrocarbon selected from the group substituted lower alkyl, cycloalkyl, substituted cycloalkyl each having one to 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo, R^{22} , $NR^{20}R^{23}$, OR^{20} ;

- 5 R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl, and heterocyclyl are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, R^{22} , SR^{20} , OR^{20} , $NR^{20}R^{23}$, CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

- 10 R^{20} is a member selected from the group consisting of H, C_{1-8} alkyl;

R^{21} is a member selected from the group consisting of C_{1-3} alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo, CF_3 , CN, OR^{20} , SR^{20} , $N(R^{20})_2$; and

- 15 R^{22} is a member selected from the group consisting of C_{1-3} alkyl, aryl, heteroaryl which alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN, $O-C_{1-6}$ alkyl, CF_3 .

14. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

- R' is an aryl, substituted aryl, each having 6 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group
20 consisting of halo, CF_3 , R^{22} , OR^{20} , CN;

R_2 is isopropyl;

R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms,

which alkyl, and heterocyclyl are optionally substituted with from 1 substituent independently selected from the group consisting of R^{22} , OR^{20} , $NR^{20}R^{23}$;

R^{20} is a member selected from the group consisting of H, C_{1-2} alkyl;

R^{21} is a member selected from the group consisting of C_{1-3} alkyl;

- 5 R^{22} is a member selected from the group consisting of C_{1-3} alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN, CF_3 ; and

R^{23} is R^{21} or H.

15. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

- 10 R_1 is an aralkyl, substituted aralkyl, each having 6-8 carbon atoms wherein substitution includes optional substitution with from 1 to 2 substituents independently selected from the group consisting of halo, CF_3 , aryl, R^{22} , $NR^{20}R^{23}$, $NR^{20}COR^{21}$, OR^{20} , CN;

- R_2 is a hydrogen or hydrocarbon selected from the group substituted alkyl, cycloalkyl, substituted cycloalkyl each having one to 6 carbon atoms wherein substitution includes
15 optional substitution with from 1 substituent independently selected from the group consisting of halo, R^{22} , $NR^{20}R^{23}$, OR^{20} ;

- R_4 and R_5 are each independently hydrogen, or a hydrocarbon selected from the group including alkyl and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl and heterocyclyl are optionally substituted with from 1 to 2 substituents
20 independently selected from the group consisting of halo, R^{22} , SR^{20} , OR^{20} , $NR^{20}R^{23}$, CN, CO_2R^{20} , and $CONR^{20}R^2$;

R^{20} is a member selected from the group consisting of H, C_{1-8} alkyl;

09529772.0041034

R²¹ is a member selected from the group consisting of C₁₋₃ alkyl, which alkyl is optionally substituted with 1 to 2 substituents independently selected from the group of halo, CF₃, CN, OR²⁰, SR²⁰, N(R²⁰)₂, and

R²² is a member selected from the group consisting of C₁₋₃alkyl, aryl, heteroaryl which
5 alkyl, aryl, and heteroaryl are optionally substituted with 1 to 3 substituents independently selected from halo, alkyl, mono- or dialkylamino, alkyl or aryl, CN, O-C₁₋₆ alkyl, CF₃.

16. A 2,6,9-trisubstituted purine composition of claim 12 wherein:

R₁' is -CH₂-phenyl wherein the phenyl ring is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, CF₃, R²², OR²⁰, CN;

10 R₂ is isopropyl;

R₄ and R₅ are each independently hydrogen, or a hydrocarbon selected from the group including alkyl, and heterocyclyl wherein each hydrocarbon has from 1 to 12 carbon atoms, which alkyl, and heterocyclyl are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of R²², OR²⁰, NR²⁰R²³;

15 R²⁰ is a member selected from the group consisting of H, C₁₋₂alkyl;

R²¹ is a member selected from the group consisting of C₁₋₃ alkyl;

R²² is a member selected from the group consisting of C₁₋₃alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN, CF₃; and

20 R²³ is R²¹ or H.

17. The 2,6,9-trisubstituted purine composition of claim 12 wherein R₁' is selected from the group consisting of aralkyl, substituted pyridylalkyl, and unsubstituted pyridylalkyl;

0920772 004401
10/00 22/06/01

R_2 is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, R^{22} , $NR^{20}R^{23}$, OR^{20} ;

R_4 is a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with
5 from 1 to 3 substituents independently selected from the group consisting of R^{22} , OR^{20} , $NR^{20}R^{23}$;

R_5 is selected from the group consisting of hydrogen, alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclyl, aryl, heteroaryl, aralkyl, are optionally substituted with from 1 to 2 substituents independently
10 selected from the group consisting of halo, aryl, R^{22} , SR^{20} , $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

R^{20} is a member selected from the group consisting of H, C_{1-2} alkyl;

R^{21} is a member selected from the group consisting of C_{1-3} alkyl;

R^{22} is a member selected from the group consisting of C_{1-3} alkyl, aryl, which alkyl,
15 aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN, CF_3 ; and

R^{23} is R^{21} or H.

18. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is selected from the group consisting of aryl, substituted aryl, pyridyl, and substituted pyridyl;

20 R_2 is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, R^{22} , $NR^{20}R^{23}$, OR^{20} ;

09029772 "041401
TOTAL 272595

R_4 is a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with from 1 to 3 substituents independently selected from the group consisting of R^{22} , OR^{20} , $NR^{20}R^{23}$;

R_5 is selected from the group consisting of hydrogen, alkyl, acyl, heterocyclcyl, aryl, heteroaryl, aralkyl, each having one to 20 carbon atoms, which alkyl, acyl, heterocyclcyl, aryl, heteroaryl, aralkyl, are optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, aryl, R^{22} , SR^{20} , $NR^{20}R^{23}$, $NR^{20}COR^{21}$, $NR^{20}CO_2R^{21}$, $NR^{20}SO_2R^{21}$, OR^{20} , CN, CO_2R^{20} , and $CONR^{20}R^{23}$;

R^{20} is a member selected from the group consisting of H, C_{1-2} alkyl;

R^{21} is a member selected from the group consisting of C_{1-3} alkyl;

R^{22} is a member selected from the group consisting of C_{1-3} alkyl, aryl, which alkyl, aryl, are optionally substituted with 1 substituent independently selected from halo, alkyl, mono- or dialkylamino, CN, CF_3 ; and

R^{23} is R^{21} or H.

19. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is selected from the group consisting of aralkyl, pyridylalkyl, and substituted pyridylalkyl;

R_2 is selected from the group consisting of alkyl, which alkyl is optionally substituted with from 1 to 2 substituents independently selected from the group consisting of halo, R^{22} , and OR^{20} ;

R_4 and R_5 are each a substituted alkyl having from 2 to 6 carbon atoms optionally substituted with from 1 substituent independently selected from the group consisting of R^{22} , $NR^{20}R^{23}$, and OR^{20} ;

R^{20} is a member selected from the group consisting of H, C_{1-2} alkyl;

09929772.081401

R^{21} is a member selected from the group consisting of C_{1-3} alkyl;

R^{22} is a member selected from the group consisting of C_{1-3} alkyl; and

R^{23} is R^{21} or H.

20. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is CH_2 -aryl or CH_2 -substituted aryl, R_2 is lower alkyl or substituted lower alkyl, and R_4 and R_5 are each $-CH_2CH_2OH$, $-CHR'CH_2OH$, or $-CH_2CHR'OH$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

21. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is CH_2 -Aryl or CH_2 -substituted aryl, R_2 is lower alkyl, and $R_4 = H$, and R_5 is $-CH_2CH_2NH_2$, $CHR'CH_2NH_2$, $-CH_2CHR'NH_2$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

22. The 2,6,9-trisubstituted purine composition of claim 21 wherein R_2 is isopropyl.

23. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is CH_2 -Aryl or CH_2 -substituted aryl, R_2 is lower alkyl, and $R_4 = -CH_2CH_2OH$, R_5 is $CH_2CH_2NH_2$, or $-CHR'CH_2NH_2$, or $-CH_2CHR'NH_2$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

24. The 2,6,9-trisubstituted purine composition of claim 23 wherein R_2 is isopropyl.

25. The 2,6,9-trisubstituted purine composition of claim 20 wherein R_2 is isopropyl.

26. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 is selected from the group consisting of aryl, substituted aryl, pyridyl, and substituted pyridyl, R_2 is

00000772 60404

selected from the group consisting of lower alkyl, substituted lower alkyl, and alkyl cycloalkyl, and R_4 and R_5 are each a substituted lower alkyl having from 2 to 6 carbon atoms.

27. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 ' is aryl or substituted aryl, R_2 is lower alkyl, or substituted lower alkyl, and R_4 and R_5 are each $\text{CH}_2\text{CH}_2\text{OH}$, $-\text{CHR}'\text{CH}_2\text{OH}$, or $-\text{CH}_2\text{CHR}'\text{OH}$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

28. The 2,6,9-trisubstituted purine composition of claim 27 wherein R_2 is isopropyl.

29. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 ' is benzyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R_2 is isopropyl, and R_4 and R_5 are each $-\text{CH}_2\text{CH}_2\text{OH}$.

30. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 ' is benzyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R_2 is isopropyl, $R_4 = \text{H}$, and $R_5 = \text{CH}_2\text{CH}_2\text{NH}_2$.

31. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 ' is benzyl substituted with a halogen, alkoxy, C_{1-3} alkyl, CF_3 , phenyl, pyridyl or nitro group, R_2 is isopropyl, $R_4 = \text{H}$, and $R_5 = \text{CH}_2\text{CHR}'\text{NH}_2$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

32. The 2,6,9-trisubstituted purine composition of claim 12 wherein R_1 ' is benzyl substituted with a halogen, alkoxy, C_{1-3} alkyl, CF_3 , phenyl, pyridyl or nitro group, R_2 is isopropyl, $R_4 = \text{H}$, and $R_5 = \text{CH}_2\text{CR}'\text{R}'\text{NH}_2$ wherein R' is hydrogen or alkyl having from 1 to 6 carbon atoms.

09929772, 081401

33. The 2,6,9-trisubstituted purine composition of claim 1 selected from the group consisting of 2-((2-hydroxyethyl)[9-(methylethyl)-6-((4-(trifluoromethyl)phenyl)methyl)amino]purin-2-yl)amino]ethan-1-ol, (((2S)oxolan-2-yl)methyl)(6-(((4-fluorophenyl)methyl)amino)-9-(methylethyl)purin-2-yl)amine, 5 [((2R)oxolan-2-yl)methyl)(6-(((4-fluorophenyl)methyl)amino)-9-(methylethyl)purin-2-yl)amine, (2-aminoethyl)(6-([3,5-dichlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amine, (2-aminoethyl)(6-([4-chloro-3-(trifluoromethyl)phenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amine, [-(6-([4-chlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amino]-3-methylbutanamide, (2-amino-2-methylpropyl)(6-([4-chlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amine, 10 3-(2-[bis(2-hydroxyethyl)amino]-6-([4-chlorophenyl)methyl]amino)purin-9-yl)butan-2-one, 2-[(6-([4-chlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amino]-3-methylbutan-1-ol, 4-[(2-[(2-aminoethyl)amino]-9-(methylethyl)purin-6-yl)amino)methyl]benzenesulfonamide, 2-[(2-hydroxyethyl)(6-([4-methoxyphenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amino]ethan-1-ol, 15 2-((2-hydroxyethyl){9-(methylethyl)-6-([4-phenylphenyl]amino)purin-2-yl)amino}ethan-1-ol, {2-[(2-amino-2-propyl)amino]-9-(methylethyl)purin-6-yl}[(4-chlorophenyl)methyl]amine, {2-[(2-aminoethyl)amino]-9-(methylethyl)purin-6-yl}[(4-chlorophenyl)methyl]amine, {2-[(2-aminopropyl)amino]-9-(methylethyl)purin-6-yl}[(4-chlorophenyl)methyl]amine and 20 2-[(2-aminoethyl)(6-([4-chlorophenyl)methyl]amino)-9-(methylethyl)purin-2-yl)amino]ethan-1-ol.

34. The 2,6,9-trisubstituted purine composition of claim 12 wherein R₁' is phenyl substituted with a halogen, alkoxy, phenyl, pyridyl or nitro group, R₂ is isopropyl, and R₄ and

R₅ are each -CH₂CH₂OH.

35. The 2,6,9-trisubstituted purine composition of claim 12 wherein R₁' is biphenylmethyl, R₂ is isopropyl, and R₄ and R₅ are each -CH₂CH₂OH.

36. The 2,6,9-trisubstituted purine composition of claim 12 wherein R₁' is selected
5 from the group consisting of 3-methylthiophenyl, 4-methylthiophenyl, 4-phenylbenzyl, 4-methoxybenzyl, 4-biphenyl, 3-methoxybenzyl, 4-(2-thienyl)benzyl, 4-(4-methyl)phenylbenzyl, 4-(4-trifluoromethyl)phenylbenzyl, 4-(4-nitrilo)phenylbenzyl, 4-(2-pyridinyl)benzyl, piperonyl, 3-methoxybenzyl, 4-chlorobenzyl, and 4-nitrobenzyl, R₂ is isopropyl, and R₄ and R₅ are both CH₂CH₂OH.

37. The 2,6,9-trisubstituted purine composition of claim 36 wherein R₁' is selected
10 from the group of compounds consisting of 4-methoxybenzyl, 4-phenylbenzyl, 4-methoxybenzyl, 4-biphenyl, 3-methoxybenzyl, 4-(2-thienyl)benzyl, 4-(4-methyl)phenylbenzyl, 4-(4-trifluoromethyl)phenylbenzyl, 4-(4-nitrilo)phenylbenzyl, 4-(2-pyridinyl)benzyl, piperonyl, 3-thiomethoxyphenyl, 4-thiomethoxyphenyl and 4-bromophenyl.

38. A cationic salt of the composition of claim 1.

39. An acid addition salt of the composition of claim 1.

40. A method for inhibiting cell proliferation in mammals comprising
15 administering a therapeutically effective amount of the composition of claim 1 to the mammal.

41. The method of claim 40 wherein the therapeutically effective amount ranges
20 from about 0.001 to about 100 mg/kg weight of the mammal.

42. The method of claim 40 wherein the composition is administered to a mammal
suffering from a cell proliferation disorder selected from the group consisting of rheumatoid

0925772 "031401

arthritis, lupus, type I diabetes, multiple sclerosis, cancer, restenosis following ballon angioplasty or atherectomy, restenosis following vascular modifying surgical procedures, host graft disease, and gout.

43. The method of claim 42 wherein the cell proliferation disorder is restenosis.

5 44. The method of claim 42 wherein the cell proliferation is disorder cancer.

45. The method of claim 42 wherein the cell proliferation disorder is polycystic kidney disease.

46. The method of claim 42 wherein the mammal is a human.

47. A pharmaceutical composition of matter comprising the composition of claim
10 1 and one or more pharmaceutical excipients.

48. An antifungal agent useful for treating fungal infections in humans, and animals comprising the composition of claim 1.

49. The method of claim 42 wherein the cell proliferation disorder is selected from the group consisting of lymphoyd neoplasm, cancer of the colon, breast cancer,
15 ovarian cancer, pancreatic cancer, and cancers derived from endothelial cells.

09329772-001403